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|---|---|---|
| FULL ESTIMATED COST | 1.14 | 1.35 |

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| FULL ESTIMATED COST | 1.14 | 1.35 |

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STRUCTURE FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8
DICTIONARY FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 9943382.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

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SEARCH TIME: 00.00.01

L6 625 SEA SSS FUL L4

=> file caplus

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FILE 'CAPLUS' ENTERED AT 17:29:26 ON 12 DEC 2002
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FILE COVERS 1907 - 12 Dec 2002 VOL 137 ISS 24
FILE LAST UPDATED: 11 Dec 2002 (20021211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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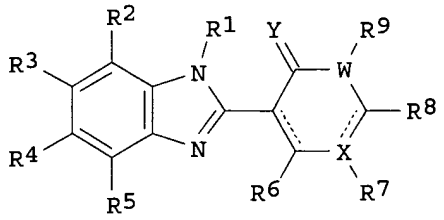
=> s l6
L7 3 L6

=> d abs bib fhitstr 1-3

L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS
GI



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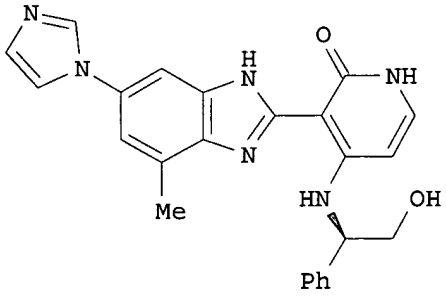


II

AB The title compds. [I; X = N, C, a bond, etc.; Y = O, S; W = N, C, O, S (if W = O or S, then R9 is absent); R1-R9 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts which inhibit tyrosine kinase enzymes thereby making them useful as anti-cancer agents, were prepd. Thus, reacting 3-[6-(imidazol-1-yl)-4-methyl-1H-benzimidazol-2-yl]-4-iodo-1H-pyridin-2-one (prepn. given) with (S)-(-)-2-phenylglycinol in the presence of N-methylmorpholine in DMF afforded 52% (S)-II which showed IC50 of 1.0 .mu.M in cytotoxicity assay (HT-29 human colon tumor cell line). 30 Of the exemplified compds. I showed kinase activity of <25.mu.M against one or more of the following kinases CDK, EMT, FAK, Her1, Her2, IGF, IR, LCK, MET, PDGF, VEGF. The compds. I are also useful for the treatment of other diseases which can be treated by inhibiting tyrosine kinase enzymes.

AN 2002:777929 CAPLUS

DN 137:294954

TI Preparation of 2-(4-substituted-2-oxo-1,2-dihydropyridin-3-yl)-benzimidazoles as novel tyrosine kinase inhibitors

IN Wittman, Mark D.; Balasubramanian, Neelakantan; Velaparthi, Upender; Zimmermann, Kurt; Saulnier, Mark G.; Liu, Peiying; Sang, Xiaopeng; Frennesson, David B.; Stoffan, Karen M.; Tarrant, James G.

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 249 pp.
CODEN: PIXXD2

DT Patent

LA English

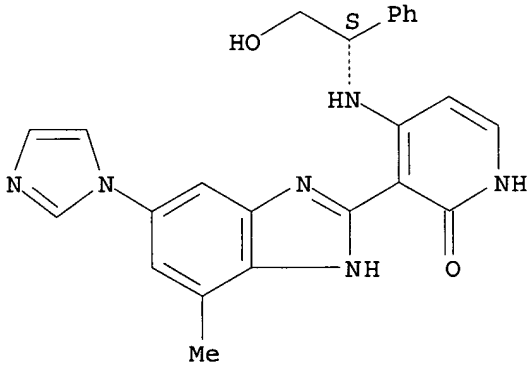
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002079192 | A1 | 20021010 | WO 2002-US9402 | 20020326 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2001-279327P P 20010328
OS MARPAT 137:294954
IT **468734-41-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(4-substituted-2-oxo-1,2-dihydropyridin-3-yl)-benzimidazoles as novel tyrosine kinase inhibitors)
RN 468734-41-0 CAPLUS
CN 2(1H)-Pyridinone, 4-[[(1S)-2-hydroxy-1-phenylethyl]amino]-3-[6-(1H-imidazol-1-yl)-4-methyl-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

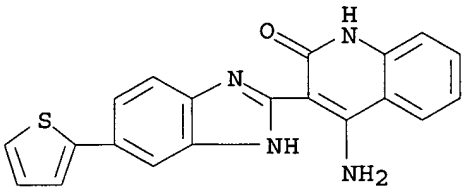
AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO2H, certain NH2 derivs.; R1-R4 = H, halo, cyano, NO2, OH or derivs., NH2 or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO2H and esters and amides; R5-R8 = H, halo, NO2, OH or derivs., NH2 or derivs., SH or derivs., cyano, etc.; R9 = H, OH, (un)substituted alkoxy or aryloxy, NH2 or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH2 or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R1-R8 = H, halo, NO2, cyano, OH or derivs., NH2 or derivs., acyl, SH or derivs., etc.; R9 = H, OH, (un)substituted alkoxy, aryloxy, NH2 or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable

carrier, which may be prepd. by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH2CH2Cl in the presence of SnCl4, gave the invention quinolinone III. Many compds. I and II had in vitro IC50 values of less than 10 .mu.M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

AN 2002:220574 CAPLUS
DN 136:263158
TI Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents
IN Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex
PA Chiron Corporation, USA
SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002022598 | A1 | 20020321 | WO 2001-US42131 | 20010911 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2001093275 | A5 | 20020326 | AU 2001-93275 | 20010911 |
| | US 2002107392 | A1 | 20020808 | US 2001-951265 | 20010911 |
| PRAI | US 2000-232159P | P | 20000911 | | |
| | WO 2001-US42131 | W | 20010911 | | |

OS MARPAT 136:263158
IT **405168-14-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)
RN 405168-14-1 CAPLUS
CN 2(1H)-Quinolinone, 4-amino-3-[5-(2-thienyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS
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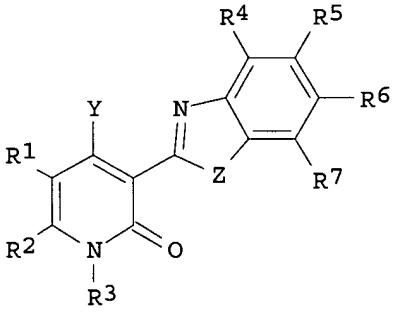

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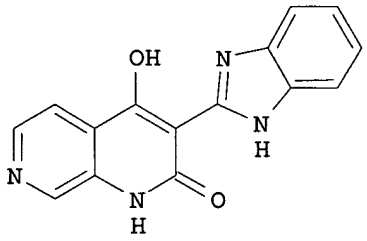

II

AB Heterocyclic compds. of formula I [Y = OH, SH, NH2, CN, acyl, etc.; Z = O, S, NH; R1R2 = 5-7 membered ring comprising at least one O, N or S atom; R3 = H, OH, alkoxy, NH2, alkyl, etc.; R4-R7 = H, Cl, Br, F, I, NO2, CN, OH, acyl, etc.] are prepd. The compds. are inhibitors of vascular endothelial growth factor receptor tyrosine kinase. Thus, II was prepd. from 3-aminopyridine-4-carboxylic acid, Me 2-(chlorocarbonyl)acetate and 1,2-phenylenediamine. The prepd. compds. displayed an IC50 value of less than 10 .mu.M against various protein tyrosine kinases.

AN 2002:171899 CAPLUS
DN 136:232301
TI Preparation of heterocyclic compounds as vascular endothelial growth factor receptor tyrosine kinase inhibitors
IN Renhowe, Paul; Machajewski, Tim; Shafer, Cynthia; Wernette-Hammond, Mary-ellen; Harris, Alex
PA Chiron Corporation, USA
SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002018383 | A2 | 20020307 | WO 2001-US41942 | 20010830 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

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             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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     AU 2001093233     A5   20020313       AU 2001-93233    20010830
     US 2002103230     A1   20020801       US 2001-943382   20010830
PRAI US 2000-231829P   P    20000901
     WO 2001-US41942   W    20010830
OS   MARPAT 136:232301
IT   402948-12-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of heterocyclic compds. as receptor tyrosine kinase inhibitors)
RN   402948-12-3  CAPLUS
CN   1,7-Naphthyridin-2(1H)-one, 4-amino-3-[5-(4-morpholinyl)-1H-benzimidazol-2-
     yl]- (9CI)  (CA INDEX NAME)
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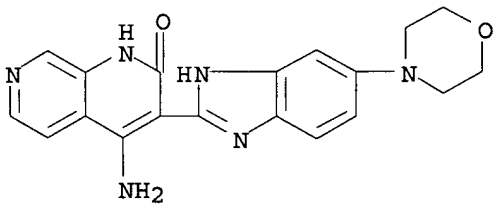


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                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      14.36     297.03

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)            SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -1.86      -1.86

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=> d his

     (FILE 'HOME' ENTERED AT 17:19:22 ON 12 DEC 2002)

     FILE 'REGISTRY' ENTERED AT 17:19:31 ON 12 DEC 2002

     FILE 'REGISTRY' ENTERED AT 17:27:05 ON 12 DEC 2002
L1             STRUCTURE UPLOADED
L2              47 S L1
L3             872 S L1 FUL

     FILE 'REGISTRY' ENTERED AT 17:28:31 ON 12 DEC 2002
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L4              STRUCTURE UPLOADED
L5           34 S L4
L6          625 S L4 FUL

     FILE 'CAPLUS' ENTERED AT 17:29:26 ON 12 DEC 2002
L7            3 S L6

     FILE 'USPATFULL, USPAT2' ENTERED AT 17:31:18 ON 12 DEC 2002

=> s l6
L8            2 L6

=> d abs bib fhitstr 1-2

L8   ANSWER 1 OF 2  USPATFULL
AB     Organic compounds having the formulas I and II are provided where the
       variables have the values described herein.   ##STR1##

       Pharmaceutical formulations include the organic compounds or
       pharmaceutically acceptable salts thereof and a pharmaceutically
       acceptable carrier and may be prepared by mixing the organic compounds
       or pharmaceutically acceptable salts of the organic compounds with a
       carrier and water. A method of treating a patient includes administering
       a pharmaceutical formulation according to the invention to a patient in
       need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN     2002:199281  USPATFULL
TI     Quinolinone derivatives
IN     Renhowe, Paul A., Danville, CA, UNITED STATES
       Pecchi, Sabina, Oakland, CA, UNITED STATES
       Machajewski, Timothy D., Martinez, CA, UNITED STATES
       Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
       Taylor, Clarke, Ann Arbor, MI, UNITED STATES
       McCrea, William R., JR., Berkeley, CA, UNITED STATES
       McBride, Christopher, Oakland, CA, UNITED STATES
       Jazan, Elisa, Richmond, CA, UNITED STATES
PI     US 2002107392      A1   20020808
AI     US 2001-951265     A1   20010911 (9)
PRAI   US 2000-232159P     20000911 (60)
DT     Utility
FS     APPLICATION
LREP   David Lentini, CHIRON CORPORATION, 4560 Horton Street, Emeryville, CA,
       94608-2916
CLMN   Number of Claims: 37
ECL    Exemplary Claim: 1
DRWN   No Drawings
LN.CNT 6588
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT  405168-14-1P
        (drug candidate; prepn. of benzimidazolyl-substituted quinolinone
        derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer
        agents)
RN   405168-14-1  USPATFULL
CN   2(1H)-Quinolinone, 4-amino-3-[5-(2-thienyl)-1H-benzimidazol-2-yl]- (9CI)
       (CA INDEX NAME)
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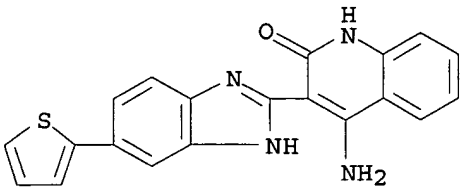


L8 ANSWER 2 OF 2 USPATFULL

AB Organic compounds having the structural formulas I, II, and III are provided where the variables have the values described herein and R.sup.1 and R.sup.2 in structure I join together to form a 5 to 7 membered substituted or unsubstituted ring including at least one O, N, or S atom, and Z is an O, S, NH or NR group in structures I and II. ##STR1##

Pharmaceutical formulations include the organic compound or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2002:192158 USPATFULL

TI Heterocyclic compounds

IN Renhowe, Paul A., Danville, CA, UNITED STATES
Machajewski, Timothy, Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Wernette-Hammond, Mary Ellen, Castro Valley, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES

PI US 2002103230 A1 20020801

AI US 2001-943382 A1 20010830 (9)

PRAI US 2000-231829P 20000901 (60)

DT Utility

FS APPLICATION

LREP David Lentini, Chiron Corporation, 4560 Horton Street, Emeryville, CA, 94608-2916

CLMN Number of Claims: 21

ECL Exemplary Claim: 1

DRWN No Drawings

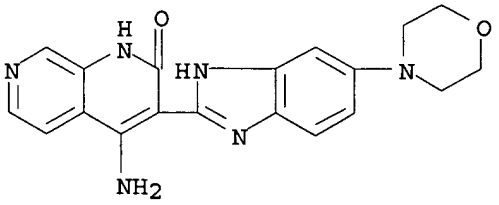
LN.CNT 3515

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **402948-12-3P**
(prepn. of heterocyclic compds. as receptor tyrosine kinase inhibitors)

RN 402948-12-3 USPATFULL

CN 1,7-Naphthyridin-2(1H)-one, 4-amino-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



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TERMINAL (ENTER 1, 2, 3, OR ?):2

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|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | Apr 08 | "Ask CAS" for self-help around the clock |
| NEWS 3 | Apr 09 | BEILSTEIN: Reload and Implementation of a New Subject Area |
| NEWS 4 | Apr 09 | ZDB will be removed from STN |
| NEWS 5 | Apr 19 | US Patent Applications available in IFICDB, IFIPAT, and IFIUDB |
| NEWS 6 | Apr 22 | Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS |
| NEWS 7 | Apr 22 | BIOSIS Gene Names now available in TOXCENTER |
| NEWS 8 | Apr 22 | Federal Research in Progress (FEDRIP) now available |
| NEWS 9 | Jun 03 | New e-mail delivery for search results now available |
| NEWS 10 | Jun 10 | MEDLINE Reload |
| NEWS 11 | Jun 10 | PCTFULL has been reloaded |
| NEWS 12 | Jul 02 | FOREGE no longer contains STANDARDS file segment |
| NEWS 13 | Jul 22 | USAN to be reloaded July 28, 2002; saved answer sets no longer valid |
| NEWS 14 | Jul 29 | Enhanced polymer searching in REGISTRY |
| NEWS 15 | Jul 30 | NETFIRST to be removed from STN |
| NEWS 16 | Aug 08 | CANCERLIT reload |
| NEWS 17 | Aug 08 | PHARMAMarketLetter(PHARMAML) - new on STN |
| NEWS 18 | Aug 08 | NTIS has been reloaded and enhanced |
| NEWS 19 | Aug 19 | Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN |
| NEWS 20 | Aug 19 | IFIPAT, IFICDB, and IFIUDB have been reloaded |
| NEWS 21 | Aug 19 | The MEDLINE file segment of TOXCENTER has been reloaded |
| NEWS 22 | Aug 26 | Sequence searching in REGISTRY enhanced |
| NEWS 23 | Sep 03 | JAPIO has been reloaded and enhanced |
| NEWS 24 | Sep 16 | Experimental properties added to the REGISTRY file |
| NEWS 25 | Sep 16 | Indexing added to some pre-1967 records in CA/CAPLUS |
| NEWS 26 | Sep 16 | CA Section Thesaurus available in CAPLUS and CA |
| NEWS 27 | Oct 01 | CASREACT Enriched with Reactions from 1907 to 1985 |
| NEWS 28 | Oct 21 | EVENTLINE has been reloaded |
| NEWS 29 | Oct 24 | BEILSTEIN adds new search fields |
| NEWS 30 | Oct 24 | Nutraceuticals International (NUTRACEUT) now available on STN |
| NEWS 31 | Oct 25 | MEDLINE SDI run of October 8, 2002 |
| NEWS 32 | Nov 18 | DKILIT has been renamed APOLLIT |
| NEWS 33 | Nov 25 | More calculated properties added to REGISTRY |
| NEWS 34 | Dec 02 | TIBKAT will be removed from STN |
| NEWS 35 | Dec 04 | CSA files on STN |

| | |
|---|---|
| NEWS EXPRESS | October 14 CURRENT WINDOWS VERSION IS V6.01, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002 |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

Print selected from Online session12/12/2002

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:27:23 ON 12 DEC 2002

=> file registry

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 18:27:34 ON 12 DEC 2002
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8
DICTIONARY FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 9943382.str

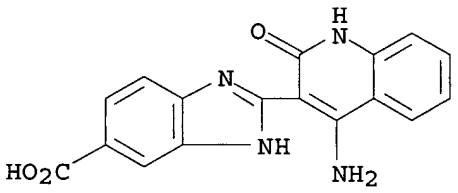
L1 STRUCTURE UPLOADED

=> s l1 ful
FULL SEARCH INITIATED 18:27:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 559 TO ITERATE

100.0% PROCESSED 559 ITERATIONS 260 ANSWERS
SEARCH TIME: 00.00.01

L2 260 SEA SSS FUL L1

McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES
PI US 2002107392 A1 20020808
AI US 2001-951265 A1 20010911 (9)
PRAI US 2000-232159P 20000911 (60)
DT Utility
FS APPLICATION
LREP David Lentini, CHIRON CORPORATION, 4560 Horton Street, Emeryville, CA, 94608-2916
CLMN Number of Claims: 37
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 6588
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405168-78-7P**, 2-(4-Amino-2-oxo-1,2-dihydroquinolin-3-yl)-1H-benzimidazole-6-carboxylic acid
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)
RN 405168-78-7 USPATFULL
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-amino-1,2-dihydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)



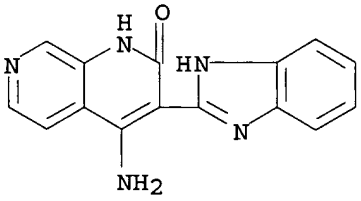

L3 ANSWER 2 OF 2 USPATFULL
AB Organic compounds having the structural formulas I, II, and III are provided where the variables have the values described herein and R.sup.1 and R.sup.2 in structure I join together to form a 5 to 7 membered substituted or unsubstituted ring including at least one O, N, or S atom, and Z is an O, S, NH or NR group in structures I and II. ##STR1##

Pharmaceutical formulations include the organic compound or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:192158 USPATFULL
TI Heterocyclic compounds
IN Renhowe, Paul A., Danville, CA, UNITED STATES
Machajewski, Timothy, Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Wernette-Hammond, Mary Ellen, Castro Valley, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
PI US 2002103230 A1 20020801
AI US 2001-943382 A1 20010830 (9)
PRAI US 2000-231829P 20000901 (60)

Print selected from Online session12/12/2002

DT Utility
FS APPLICATION
LREP David Lentini, Chiron Corporation, 4560 Horton Street, Emeryville, CA, 94608-2916
CLMN Number of Claims: 21
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3515
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **402948-08-7P**
(prepn. of heterocyclic compds. as receptor tyrosine kinase inhibitors)
RN 402948-08-7 USPATFULL
CN 1,7-Naphthyridin-2(1H)-one, 4-amino-3-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 22.64 | 163.13 |

FILE 'CAPLUS' ENTERED AT 18:29:00 ON 12 DEC 2002
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FILE COVERS 1907 - 12 Dec 2002 VOL 137 ISS 24
FILE LAST UPDATED: 11 Dec 2002 (20021211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

Print selected from Online session12/12/2002

=> s l2
L4 2 L2

=> d abs bib fhitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO2H, certain NH2 derivs.; R1-R4 = H, halo, cyano, NO2, OH or derivs., NH2 or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO2H and esters and amides; R5-R8 = H, halo, NO2, OH or derivs., NH2 or derivs., SH or derivs., cyano, etc.; R9 = H, OH, (un)substituted alkoxy or aryloxy, NH2 or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH2 or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R1-R8 = H, halo, NO2, cyano, OH or derivs., NH2 or derivs., acyl, SH or derivs., etc.; R9 = H, OH, (un)substituted alkoxy, aryloxy, NH2 or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepd. by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH2CH2Cl in the presence of SnCl4, gave the invention quinolinone III. Many compds. I and II had in vitro IC50 values of less than 10 .mu.M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).
AN 2002:220574 CAPLUS
DN 136:263158
TI Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents
IN Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex
PA Chiron Corporation, USA
SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

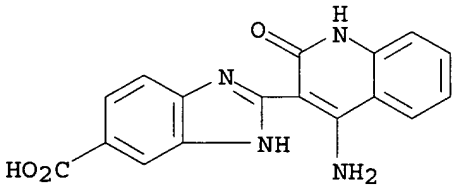
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002022598 | A1 | 20020321 | WO 2001-US42131 | 20010911 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2001093275 | A5 | 20020326 | AU 2001-93275 | 20010911 |
| | US 2002107392 | A1 | 20020808 | US 2001-951265 | 20010911 |
| PRAI | US 2000-232159P | P | 20000911 | | |
| | WO 2001-US42131 | W | 20010911 | | |

OS MARPAT 136:263158

IT **405168-78-7P**, 2-(4-Amino-2-oxo-1,2-dihydroquinolin-3-yl)-1H-benzimidazole-6-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405168-78-7 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-amino-1,2-dihydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

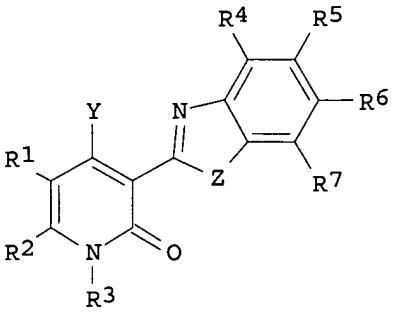



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d abs bib fhitstr 2

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS

GI



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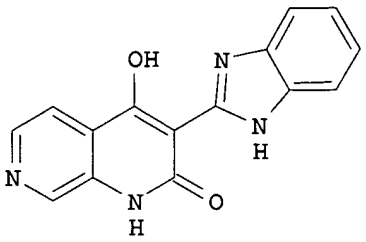

II

AB Heterocyclic compds. of formula I [Y = OH, SH, NH2, CN, acyl, etc.; Z = O, S, NH; R1R2 = 5-7 membered ring comprising at least one O, N or S atom; R3 = H, OH, alkoxy, NH2, alkyl, etc.; R4-R7 = H, Cl, Br, F, I, NO2, CN, OH, acyl, etc.] are prepd. The compds. are inhibitors of vascular endothelial growth factor receptor tyrosine kinase. Thus, II was prepd. from 3-aminopyridine-4-carboxylic acid, Me 2-(chlorocarbonyl)acetate and 1,2-phenylenediamine. The prepd. compds. displayed an IC50 value of less than 10 .mu.M against various protein tyrosine kinases.

AN 2002:171899 CAPLUS

DN 136:232301

TI Preparation of heterocyclic compounds as vascular endothelial growth factor receptor tyrosine kinase inhibitors

IN Renhowe, Paul; Machajewski, Tim; Shafer, Cynthia; Wernette-Hammond, Mary-ellen; Harris, Alex

PA Chiron Corporation, USA

SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002018383 | A2 | 20020307 | WO 2001-US41942 | 20010830 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2001093233 | A5 | 20020313 | AU 2001-93233 | 20010830 |
| | US 2002103230 | A1 | 20020801 | US 2001-943382 | 20010830 |
| PRAI | US 2000-231829P | P | 20000901 | | |
| | WO 2001-US41942 | W | 20010830 | | |

OS MARPAT 136:232301

IT **402948-08-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as receptor tyrosine kinase inhibitors)

RN 402948-08-7 CAPLUS

CN 1,7-Naphthyridin-2(1H)-one, 4-amino-3-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

Print selected from 9943382.trn13/12/2002

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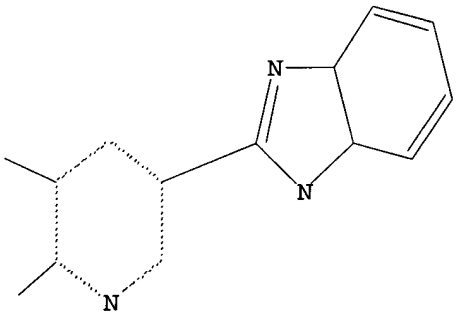
L1 HAS NO ANSWERS
L1 STR




G1 C,H,Hy

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 42 TO ITERATE

100.0% PROCESSED 42 ITERATIONS 19 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 452 TO 1228
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> s l1 ful
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FULL SCREEN SEARCH COMPLETED - 792 TO ITERATE

100.0% PROCESSED 792 ITERATIONS 379 ANSWERS
SEARCH TIME: 00.00.01

L3 379 SEA SSS FUL L1

=> file uspatall
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 140.28 140.49

FILE 'USPATFULL' ENTERED AT 15:59:38 ON 13 DEC 2002

Print selected from 9943382.trn13/12/2002

FILE 'USPAT2' ENTERED AT 15:59:38 ON 13 DEC 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

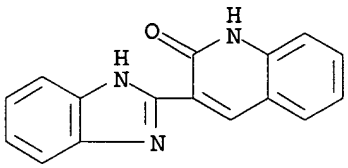
=> sl3
SL3 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l3
L4 7 L3

=> d abs bib fhitstr 1-7

L4 ANSWER 1 OF 7 USPATFULL
AB The present invention relates to compounds which inhibit, regulate and/or modulate tyrosine kinase signal transduction, compositions which contain these compounds, and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:297601 USPATFULL
TI Tyrosine kinase inhibitors
IN Fraley, Mark E., North Wales, PA, United States
Hambaugh, Scott R., Norristown, PA, United States
Hungate, Randall W., Lansdale, PA, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US 6479512 B1 20021112
AI US 2000-690602 20001017 (9)
PRAI US 1999-160362P 19991019 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Seaman, D. Margaret
LREP Brown, Dianne, Daniel, Mark R.
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2602
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **83520-73-4P**
(prepn. of benzimidazole derivs. as tyrosine kinase inhibitors)
RN 83520-73-4 USPATFULL
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

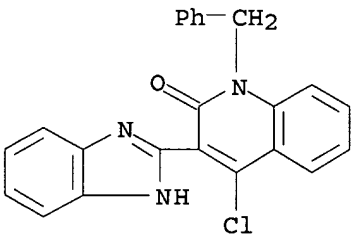



L4 ANSWER 2 OF 7 USPATFULL

AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:199281 USPATFULL
TI Quinolinone derivatives
IN Renhowe, Paul A., Danville, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Taylor, Clarke, Ann Arbor, MI, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES
PI US 2002107392 A1 20020808
AI US 2001-951265 A1 20010911 (9)
PRAI US 2000-232159P 20000911 (60)
DT Utility
FS APPLICATION
LREP David Lentini, CHIRON CORPORATION, 4560 Horton Street, Emeryville, CA, 94608-2916
CLMN Number of Claims: 37
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 6588
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405168-37-8P**, 3-(Benzimidazol-2-yl)-4-chloro-1-benzyl-1H-quinolin-2-one
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)
RN 405168-37-8 USPATFULL
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-chloro-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

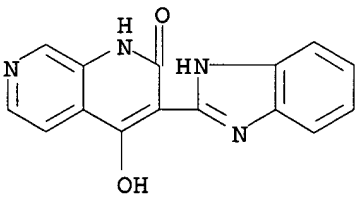



L4 ANSWER 3 OF 7 USPATFULL
AB Organic compounds having the structural formulas I, II, and III are provided where the variables have the values described herein and

R.sup.1 and R.sup.2 in structure I join together to form a 5 to 7 membered substituted or unsubstituted ring including at least one O, N, or S atom, and Z is an O, S, NH or NR group in structures I and II. ##STR1##

Pharmaceutical formulations include the organic compound or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

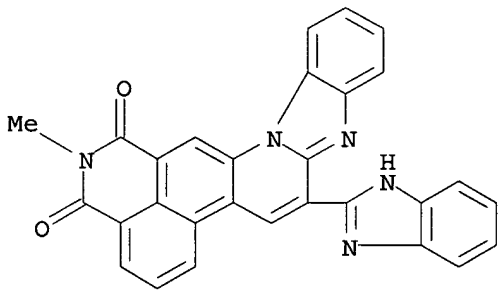
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:192158 USPATFULL
TI Heterocyclic compounds
IN Renhowe, Paul A., Danville, CA, UNITED STATES
Machajewski, Timothy, Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Wernette-Hammond, Mary Ellen, Castro Valley, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
PI US 2002103230 A1 20020801
AI US 2001-943382 A1 20010830 (9)
PRAI US 2000-231829P 20000901 (60)
DT Utility
FS APPLICATION
LREP David Lentini, Chiron Corporation, 4560 Horton Street, Emeryville, CA, 94608-2916
CLMN Number of Claims: 21
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3515
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **402948-06-5P**
(prepn. of heterocyclic compds. as receptor tyrosine kinase inhibitors)
RN 402948-06-5 USPATFULL
CN 1,7-Naphthyridin-2(1H)-one, 3-(1H-benzimidazol-2-yl)-4-hydroxy- (9CI) (CA INDEX NAME)




L4 ANSWER 4 OF 7 USPATFULL
AB An organic electroluminescent device comprising an anode, an organic hole transport layer, an organic emission layer and a cathode layered in this order on a substrate, or, optionally, comprising an additional electron transport layer between the organic emission layer and the cathode, wherein the organic emission layer comprises a naphthalimide derivative represented by formula (1) wherein R.sup.1 is a hydrogen atom, a straight chain or branched chain alkyl group having from 1 to 16 carbon atoms, an aryl group which may have substituents or an aralkyl group which may have substituents, and R.sup.2, R.sup.3, R.sup.4 are

special substituents. ##STR1##

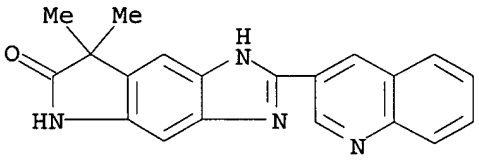
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 1999:75436 USPATFULL
TI Organic electroluminescent device
IN Kang, Wen-Bing, Tokorozawa, Japan
Yu, Nu, Oberursel, Germany, Federal Republic of
Tokida, Akihiko, Kawagoe, Japan
Potrawa, Thomas, Seelze, Germany, Federal Republic of
Winterfeldt, Andreas, Barsinghausen, Germany, Federal Republic of
PA Hoechst Aktiengesellschaft, Frankfurt, Germany, Federal Republic of (non-U.S. corporation)
PI US 5919579 19990706
WO 9605267 19960222
AI US 1997-776078 19970117 (8)
WO 1995-EP3128 19950807
19970117 PCT 371 date
19970117 PCT 102(e) date
PRAI JP 1994-185820 19940808
DT Utility
FS Granted
EXNAM Primary Examiner: Nold, Charles
LREP Frommer Lawrence & Haug LLP
CLMN Number of Claims: 4
ECL Exemplary Claim: 1
DRWN 3 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 251
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **93904-40-6**
(org. electroluminescent device using naphthalimide derivs.)
RN 93904-40-6 USPATFULL
CN 1H-Benzimidazo[1,2-a]isoquino[5,4-fg]quinoline-1,3(2H)-dione, 8-(1H-benzimidazol-2-yl)-2-methyl- (9CI) (CA INDEX NAME)




L4 ANSWER 5 OF 7 USPATFULL
AB Pyrrolobenzimidazoles of the formula: ##STR1## are useful for treatment of heart and circulatory diseases. R.sub.1 is substituted phenyl; or optionally substituted naphthyl or a five- or six-membered heterocyclic group which can be condensed with a phenyl ring to form a bicyclic radical. R.sub.2 is hydrogen, alkyl, alkenyl or cycloalkenyl; R.sub.3 is alkyl, alkenyl or hydroxyalkyl or with R.sub.2 together forms cycloakylene; or R.sub.2 and R.sub.3 together form alkylidene or cycloalkylidene. R.sub.4 is hydrogen or lower alkanoyl. X is a valency bond, alkylene, vinylene, imino or carbonylamino. T stands for two

hydrogen atoms. When X is a valency bond, R.sub.1 can also be hydrogen, hydrocarbyl which may also contain oxygen, amino, sulfur, carbonyl and sulfonyl groups. When X is imino or carbonylamino or when R.sub.1 is a bicyclic radical, T can also be oxygen. The compounds also include the tautomers and physiologically acceptable salts with inorganic and organic acids.

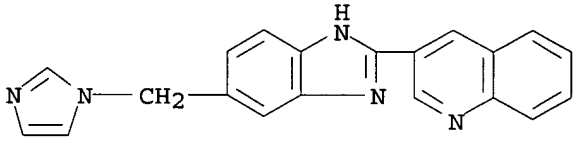
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 89:74204 USPATFULL
TI Pyrrolobenzimidazoles and pharmaceutical compositions containing them
IN Friebe, Walter-Gunar, Mannheim, Germany, Federal Republic of
Mertens, Alfred, Schriesheim, Germany, Federal Republic of
Strein, Klaus, Hemsbach, Germany, Federal Republic of
Boehm, Erwin, Ladenburg, Germany, Federal Republic of
PA Boehringer Mannheim GmbH, Mannheim, Germany, Federal Republic of (non-U.S. corporation)
PI US 4863945 19890905
AI US 1987-131367 19871210 (7)
PRAI DE 1986-3642315 19861211
DT Utility
FS Granted
EXNAM Primary Examiner: Lee, Mary C.; Assistant Examiner: Richter, J.
LREP Felfe & Lynch
CLMN Number of Claims: 20
ECL Exemplary Claim: 1,15
DRWN No Drawings
LN.CNT 1410
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **116584-57-7P**
(prepn. of, as cardiovascular agent)
RN 116584-57-7 USPATFULL
CN Pyrrolo[2,3-f]benzimidazol-6(1H)-one, 5,7-dihydro-7,7-dimethyl-2-(3-quinolinyl)- (9CI) (CA INDEX NAME)




L4 ANSWER 6 OF 7 USPATFULL
AB Novel (1H-imidazol-1-ylmethyl) substituted benzimidazole derivatives, compositions containing the same, and methods of treating androgen dependent disorders in mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 89:69782 USPATFULL
TI (1H-imidazol-1-ylmethyl) substituted benzimidazole derivatives and use thereof in treating androgen dependent disorders
IN Raeymaekers, Alfons H. M., Beerse, Belgium
Freyne, Eddy J. E., Rumst, Belgium
Sanz, Gerard C., Garges les Gonesse, France
PA Janssen Pharmaceutica N.V., Beerse, Belgium (non-U.S. corporation)
PI US 4859684 19890822

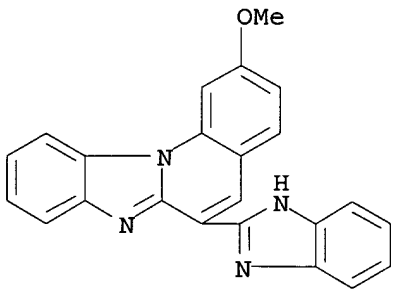
AI US 1987-78435 19870727 (7)
RLI Continuation-in-part of Ser. No. US 1986-907903, filed on 15 Sep 1986, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Lee, Mary C.; Assistant Examiner: Whittenbaugh, Robert C.
CLMN Number of Claims: 20
ECL Exemplary Claim: 1,13
DRWN No Drawings
LN.CNT 2906
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **115574-62-4P**
(prepn. of, as androgen inhibitor)
RN 115574-62-4 USPATFULL
CN Quinoline, 3-[5-(1H-imidazol-1-ylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 7 USPATFULL
AB Benzimidazo-[1,2-a]-quinolines of the formula ##STR1## In the solid and dissolved state, the compounds of the invention are strongly fluorescing from reddish-blue to red, depending on the substitution. The compounds can be used as optical brighteners and as fluorescent dyestuffs. Furthermore they are valuable intermediates for the preparation of optical brighteners and fluorescing dyestuffs. They are distinguished by a very good fastness to light.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 80:41896 USPATFULL
TI Benzimidazo-[1,2-a]-quinolines
IN Gunther, Dieter, Kelkheim, Germany, Federal Republic of
Erckel, Rudiger, Hofheim am Taunus, Germany, Federal Republic of
Fruhbeis, Horst, Kelkheim, Germany, Federal Republic of
PA Hoechst Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation)
PI US 4219651 19800826
AI US 1977-830175 19770902 (5)
PRAI DE 1976-2640760 19760910
DT Utility
FS Granted
EXNAM Primary Examiner: Rizzo, Nicholas S.; Assistant Examiner: Rivers, Diana G.
LREP Connolly and Hutz
CLMN Number of Claims: 1
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 254
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **66557-50-4P**

(prepn. and UV absorption of)
RN 66557-50-4 USPATFULL
CN Benzimidazo[1,2-a]quinoline, 6-(1H-benzimidazol-2-yl)-2-methoxy- (9CI)
(CA INDEX NAME)

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 93.09 | 233.58 |

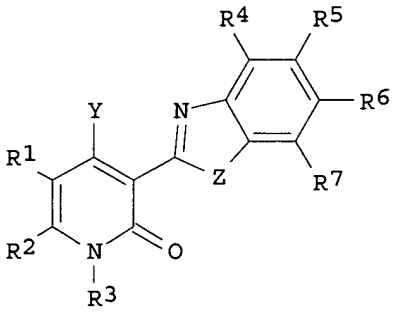
STN INTERNATIONAL LOGOFF AT 16:21:28 ON 13 DEC 2002

Print selected from 9943382.trn13/12/2002

=> s l2
L4 20 L2

=> d abs bib fhitstr 1-20

L4 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



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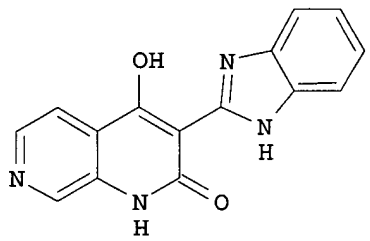


II

AB Heterocyclic compds. of formula I [Y = OH, SH, NH2, CN, acyl, etc.; Z = O, S, NH; R1R2 = 5-7 membered ring comprising at least one O, N or S atom; R3 = H, OH, alkoxy, NH2, alkyl, etc.; R4-R7 = H, Cl, Br, F, I, NO2, CN, OH, acyl, etc.] are prepd. The compds. are inhibitors of vascular endothelial growth factor receptor tyrosine kinase. Thus, II was prepd. from 3-aminopyridine-4-carboxylic acid, Me 2-(chlorocarbonyl)acetate and 1,2-phenylenediamine. The prepd. compds. displayed an IC50 value of less than 10 .mu.M against various protein tyrosine kinases.

AN 2002:171899 CAPLUS

DN 136:232301

TI Preparation of heterocyclic compounds as vascular endothelial growth factor receptor tyrosine kinase inhibitors

IN Renhowe, Paul; Machajewski, Tim; Shafer, Cynthia; Wernette-Hammond, Mary-ellen; Harris, Alex

PA Chiron Corporation, USA

SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2

DT Patent

LA English

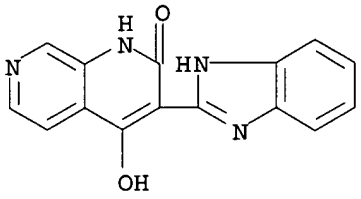
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002018383 | A2 | 20020307 | WO 2001-US41942 | 20010830 |

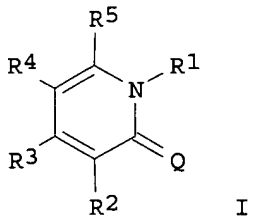
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-231829P P 20000901
IT **402948-06-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as receptor tyrosine kinase inhibitors)
RN 402948-06-5 CAPLUS
CN 1,7-Naphthyridin-2(1H)-one, 3-(1H-benzimidazol-2-yl)-4-hydroxy- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



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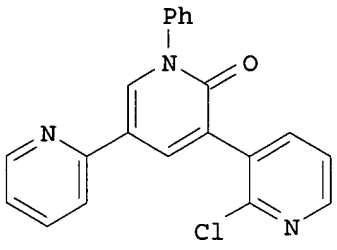


II

AB Title compds. [I; Q = NH, O, S; R1, R2, R3, R4, R5 each independently = H, halo, C1-6 alkyl-XA; X = single bond, C1-6 alkylene; A = C6-14 arom. carbocyclic, C6-14 arom. heterocyclic], salts, hydrates, and 3-(2-cyanophenyl)-4-(2-pyridyl)-2-methoxypyridine, exhibiting excellent inhibitory activities against AMPA receptor and/or kainite receptor, are

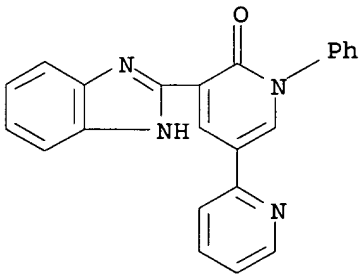
prepd. Thus, the title compd. II was prepd. and orally tested effective as anti-AMPA-induced-spasm agent in male ddy mouse and in vitro anti-AMPA-induced nerve cell calcium influx.

AN 2001:923769 CAPLUS
DN 136:53682
TI Preparation of 1,2-dihydropyridinone compounds and use thereof as AMPA receptor and kainite receptor inhibitors
IN Nagato, Satoshi; Ueno, Kohshi; Kawano, Koki; Norimine, Yoshihiko; Ito, Koichi; Hanada, Takahisa; Ueno, Masataka; Amino, Hiroyuki; Ogo, Makoto; Hatakeyama, Shinji; Urawa, Yoshio; Naka, Hiroyuki; Groom, Anthony John; Rivers, Leanne; Smith, Terence
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 284 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001096308 | A1 | 20011220 | WO 2001-JP4857 | 20010608 |

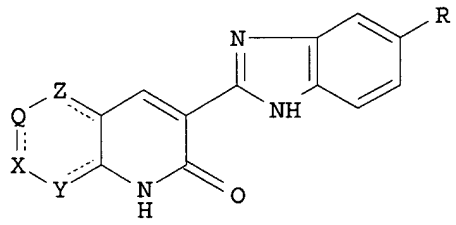
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2000-175966 A 20000612
GB 2000-22483 A 20000913
OS MARPAT 136:53682
IT **380919-84-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,2-dihydropyridine-2-one compds. and use thereof as AMPA receptor and kainite receptor inhibitors)
RN 380919-84-6 CAPLUS
CN [2,3'-Bipyridin]-6'(1'H)-one, 5'-(1H-benzimidazol-2-yl)-1'-phenyl- (9CI) (CA INDEX NAME)




RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



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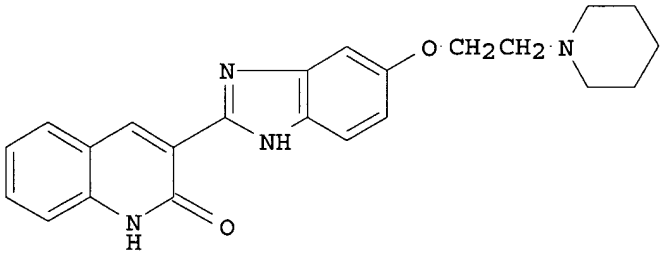


II

AB Title compds. [I; X = CH, N; Y = CH, N, S; Z = CH, S, electron pair; Q = CH, electron pair; dotted bond = single, double; R = (CH3)2NCH2CH(CH3)CH2O, (CH3OCH2CH2)(C6H5CH2)NCH2CH2O, (CH3CH2)2NCH2CH2O, (CH3)(C6H5CH2)NCH2CH2CH2O, (CH3OCH2CH2)(HOOCCH2CH2)NCH2CH2O, (CH3OCH2CH2)(CH3SO2)NCH2, cycloalkylaminoalkyl, heterocyclylalkyl, etc.], stereoisomer, and pharmaceutically acceptable salts are prepd. and inhibit, regulate and/or modulate tyrosine kinase signal transduction. Title compds. are tested on VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.001-5.0 .mu.M. Pharmaceutical compns. and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, etc. are discussed. Thus, the title compd. II was prepd.

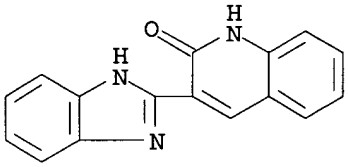
AN 2001:300674 CAPLUS
DN 134:326527
TI Preparation of benzimidazole derivatives as tyrosine kinase inhibitors
IN Fraley, Mark E.; Hambaugh, Scott R.; Hungate, Randall W.
PA Merck & Co. Inc., USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001028993 | A2 | 20010426 | WO 2000-US28641 | 20001016 |
| | WO 2001028993 | A3 | 20010913 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,

LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

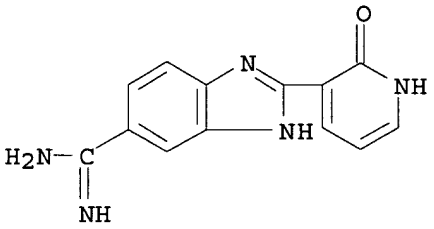
AU 2001010913 A5 20010430 AU 2001-10913 20001016
PRAI US 1999-160362P P 19991019
WO 2000-US28641 W 20001016
OS MARPAT 134:326527
IT **83520-73-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazole derivs. as tyrosine kinase inhibitors)
RN 83520-73-4 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)




L4 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2002 ACS
AB We describe a new serine protease inhibition motif in which binding is mediated by a cluster of very short hydrogen bonds (<2.3 .ANG.) at the active site. This protease-inhibitor binding paradigm is obsd. at high resoln. in a large set of crystal structures of trypsin, thrombin, and urokinase-type plasminogen activator (uPA) bound with a series of small mol. inhibitors (2-(2-phenol)indoles and 2-(2-phenol)benzimidazoles). In each complex there are eight enzyme-inhibitor or enzyme-water-inhibitor hydrogen bonds at the active site, three of which are very short. These short hydrogen bonds connect a triangle of oxygen atoms comprising O.gamma.Ser195, a water mol. co-bound in the oxyanion hole (H2Ooxy), and the phenolate oxygen atom of the inhibitor (O6'). Two of the other hydrogen bonds between the inhibitor and active site of the trypsin and uPA complexes become short in the thrombin counterparts, extending the three-centered short hydrogen-bonding array into a tetrahedral array of atoms (three oxygen and one nitrogen) involved in short hydrogen bonds. In the uPA complexes, the extensive hydrogen-bonding interactions at the active site prevent the inhibitor S1 amidine from forming direct hydrogen bonds with Asp189 because the S1 site is deeper in uPA than in trypsin or thrombin. Ionization equil. at the active site assocd. with inhibitor binding are probed through detn. and comparison of structures over a wide range of pH (3.5 to 11.4) of thrombin complexes and of trypsin complexes in three different crystal forms. The high-pH trypsin-inhibitor structures suggest that His57 is protonated at pH values as high as 9.5. The pH-dependent inhibition of trypsin, thrombin, uPA and factor Xa by 2-(2-phenol)benzimidazole analogs in which the pKa of the phenol group is modulated is shown to be consistent with a binding process involving ionization of both the inhibitor and the enzyme. These data further suggest that the pKa of His57 of each protease in the unbound state in soln. is about the same,.apprx.6.8. By comparing inhibition consts. (Ki

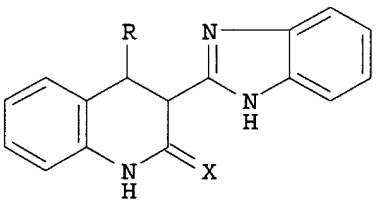
values), inhibitor solubilities, inhibitor conformational energies and corresponding structures of short and normal hydrogen bond-mediated complexes, we have estd. the contribution of the short hydrogen bond networks to inhibitor affinity (.apprx.1.7 kcal/mol). The structures and Ki values assocd. with the short hydrogen-bonding motif are compared with those corresponding to an alternate, Zn2+-mediated inhibition motif at the active site. Structural differences among apo-enzymes, enzyme-inhibitor and enzyme-inhibitor-Zn2+ complexes are discussed in the context of affinity determinants, selectivity development, and structure-based inhibitor design. (c) 2001 Academic Press.

AN 2001:246441 CAPLUS
DN 135:89065
TI A Novel Serine Protease Inhibition Motif Involving a Multi-centered Short Hydrogen Bonding Network at the Active Site
AU Katz, Bradley A.; Elrod, Kyle; Luong, Christine; Rice, Mark J.; Mackman, Richard L.; Sprengeler, Paul A.; Spencer, Jeffrey; Hataye, Jason; Janc, James; Link, John; Litvak, Joane; Rai, Roopa; Rice, Ken; Sideris, Steve; Verner, Erik; Young, Wendy
CS Axys Pharmaceuticals Corporation, South San Francisco, CA, 94080, USA
SO Journal of Molecular Biology (2001), 307(5), 1451-1486
CODEN: JMOBAK; ISSN: 0022-2836
PB Academic Press
DT Journal
LA English
IT **348635-97-2**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(novel serine protease inhibition motif involving a multi-centered short hydrogen bonding network at active site)
RN 348635-97-2 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-(1,2-dihydro-2-oxo-3-pyridinyl)- (9CI) (CA INDEX NAME)




RE.CNT 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI

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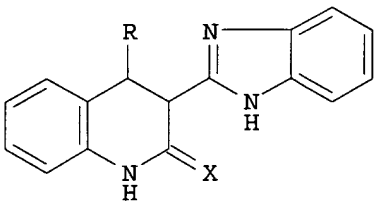
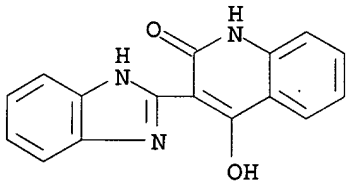


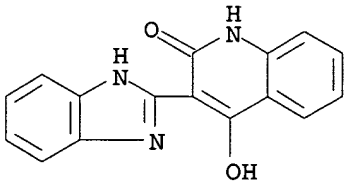
I

AB 3-Benzimidazol-2-yl-4-hydroxy-2(1H)-quinolinone thio analogs I (R = OH, X = S; R = SH, X = O; R = SH, X = S) were prepd. In antithyroid tests, only I (R = SH, X = S) approached mercazolyl in activity.
AN 1998:24241 CAPLUS
DN 128:102030
TI 4-Hydroxy-2-quinolinones. 32. Synthesis and antithyroid activity of thio analogs of 3-benzimidazol-2-yl-4-hydroxy-2(1H)-quinolinone
AU Ukrainets, I. V.; Taran, S. G.; Gorokhova, O. V.; Marusenko, N. A.; Turov, A. V.
CS Ukraine Pharmaceutical Academy, Kharkhov, 310002, Ukraine
SO Chem. Heterocycl. Compd. (N. Y.) (1997), 33(5), 600-604
CODEN: CHCCAL; ISSN: 0009-3122
PB Consultants Bureau
DT Journal
LA English
IT **144335-37-5**
RL: RCT (Reactant)
(prepn. and antithyroid activity of thio analogs of 3-benzimidazol-2-yl-4-hydroxy-2(1H)-quinolinone)
RN 144335-37-5 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-hydroxy- (9CI) (CA INDEX NAME)

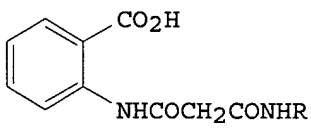


L4 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2002 ACS
AB Thermolysis of 2-carboxymalonanilic acids Et esters [i.e., 2-[(3-ethoxy-1,3-dioxopropyl)amino]benzoic acid derivs.] with o-phenylenediamine gave 3-(1H-benzimidazol-2-yl)-4-hydroxy-2(1H)-quinolinones.
AN 1995:870822 CAPLUS
DN 124:86900
TI Effective synthesis of 3-(benzimidazol-2-yl)-4-hydroxy-2-oxo-1,2-dihydroquinolines
AU Ukrainets, Igor V.; Bezugly, Peter A.; Taran, Svetlana G.; Gorokhova, Olga V.; Turov, Alexander V.
CS Ukrainian Academy Pharmacy, Kharkov, 310002, Ukraine
SO Tetrahedron Lett. (1995), 36(42), 7747-8

CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
IT **144335-37-5P**, 3-(1H-Benzimidazol-2-yl)-4-hydroxy-2(1H)-Quinolinone
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (benzimidazolyl)hydroxyquinolinones)
RN 144335-37-5 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-hydroxy- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI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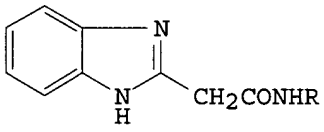
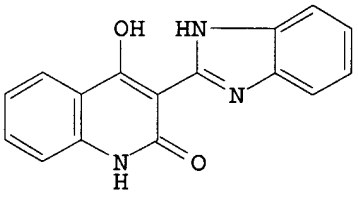
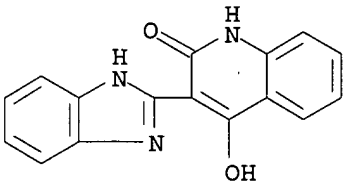

II

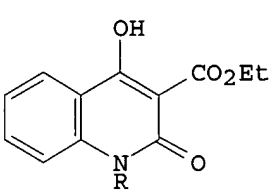

III

AB Reaction of the title amides I [R = alkyl, PhCH2, (.+-.)-PhCHMe] with o-H2NC6H4NH2 under thermolysis conditions gave 35-93% of the corresponding 2-benzimidazoleacetamides II and quinolinone III. An addnl. minor product was 2,2'-methylenebisbenzimidazole.
AN 1994:244838 CAPLUS
DN 120:244838
TI 4-Hydroxy-2-quinolones. 16. Condensation of 2-carboxymalonanilic acid N-R-substituted amides with o-phenylenediamine
AU Ukrainets, I. V.; Taran, S. G.; Turov, A. V.
CS Ukr. Farm. Akad., Kharkov, 310002, Ukraine
SO Khim. Geterotsikl. Soedin. (1993), (8), 1105-8
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian

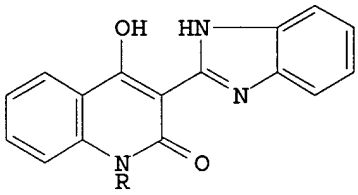
IT **144335-37-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 144335-37-5 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-hydroxy- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI

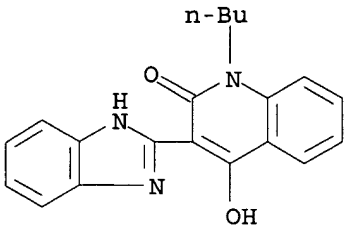


I

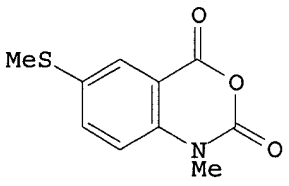


II

AB Condensation of hydroxyquinolinecarboxylates I (R = C1-6 n-alkyl, nonyl) with o-C6H4(NH2) at 150.degree. gave 85-94% of the corresponding anilides which underwent thermal cyclocondensation at 250.degree. to give 86-95% title compds. II. The latter (R = Bu, pentyl) had antithyroid activity which was equal to that of Mercazole.
AN 1994:8457 CAPLUS
DN 120:8457
TI 4-Hydroxy-2-quinolones. 7. Synthesis and biological properties of 1-R-3-(benzimidazolyl-2)-4-hydroxy-2-quinolones
AU Ukrainets, I. V.; Bezugly, P. A.; Gorokhova, O. V.; Treskach, V. I.; Turov, A. V.
CS Khar'k. Farm. Inst., Kharkov, 310002, Ukraine
SO Khim. Geterotsikl. Soedin. (1993), (1), 105-8
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
IT **151449-88-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antithyroid activity of)
RN 151449-88-6 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-1-butyl-4-hydroxy- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



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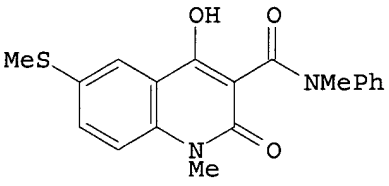


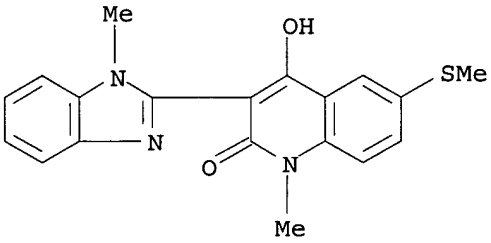
II

AB Some 2-quinolinone and 2-quinolinethione derivs. are claimed. Methods for the prepn. of said compds. are claimed. Such a process being for example, condensation of a 2H-3,1-Benzoxazine-2,4(1H)-dione (isatoic anhydride) deriv. with a carbonyl or thiocarbonyl compd. The use of said compds. as inflammation inhibitors, neoplasm inhibitors, analgesics, and for the treatment of collagen diseases or autoimmune diseases is claimed. A mixt. of 1-methyl-6-(methylthio)-2H-3,1-benzoxazine-2,4(1H)-dione (I) in dimethylacetamide was added to Et 3-(methylphenylamino)-3-oxopropionate in dimethylacetamide/NaH to give 1-methyl-3-(N-methyl-N-phenylcarbamoyl)-4-hydroxy-6-(methylthio)-2-quinolinone (II). II inhibited B16 melanoma metastasis in mice.

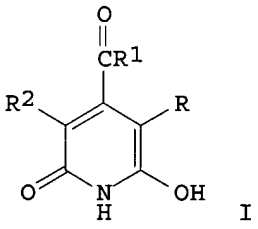
AN 1993:212903 CAPLUS
DN 118:212903
TI 2-quinolinone and 2-quinolinethiones, a method for their preparation and their use as inflammation inhibitors, neoplasm inhibitors, or analgesics
IN Matsuo, Masaaki; Tsuji, Kiyoshi; Nakamura, Katsuya; Spears, Glen W.
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9218483 | A1 | 19921029 | WO 1992-JP510 | 19920421 |
| | W: AU, CA, HU, JP, KR, RU, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| | CA 2108971 | AA | 19921023 | CA 1992-2108971 | 19920421 |
| | AU 9215487 | A1 | 19921117 | AU 1992-15487 | 19920421 |
| | AU 656576 | B2 | 19950209 | | |
| | JP 06506925 | T2 | 19940804 | JP 1992-507784 | 19920421 |
| | EP 639182 | A1 | 19950222 | EP 1992-908346 | 19920421 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
HU 67349 A2 19950328 HU 1993-2983 19920421
PRAI GB 1991-8547 19910422
WO 1992-JP510 19920421
OS CASREACT 118:212903; MARPAT 118:212903
IT **147079-75-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inflammation inhibitor or neoplasm inhibitor)
RN 147079-75-2 CAPLUS
CN 2(1H)-Quinolinone, 4-hydroxy-1-methyl-3-(1-methyl-1H-benzimidazol-2-yl)-6-(methylthio)- (9CI) (CA INDEX NAME)




L4 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



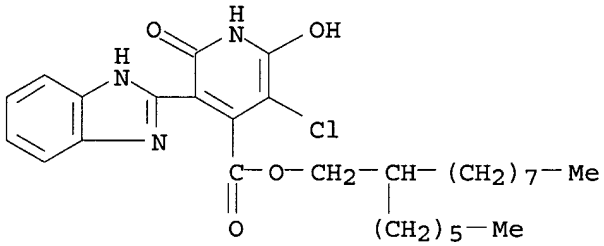

I

AB The claimed photog. material contains in the red-sensitive layer a cyan coupler I (R = H, leaving group to be released by the reaction with the oxidized color developing agent; R = OH, alkoxyl, amino, alkylamino, anilino; R2 = H, substituent) which provides a substantially nondiffusible azomethyne dye by the coupling reaction with the oxidized developing agent. The dye has a sharp spectral absorption and good stability against heat and moisture.
AN 1993:49179 CAPLUS
DN 118:49179
TI Silver halide photographic material containing coupler with pyridone structure which provides dye image with sharp spectral absorption
IN Yamakawa, Kazuyoshi; Ishii, Yoshio
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DT Patent
LA Japanese

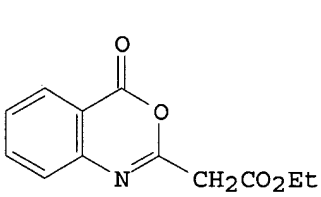
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 04182645 | A2 | 19920630 | JP 1990-311550 | 19901119 |

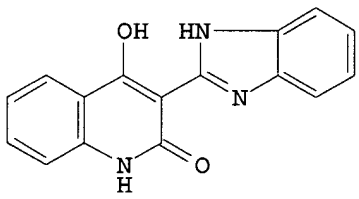
IT **145073-25-2**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)
RN 145073-25-2 CAPLUS
CN 4-Pyridinecarboxylic acid, 3-(1H-benzimidazol-2-yl)-5-chloro-1,2-dihydro-6-hydroxy-2-oxo-, 2-hexyldecyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI

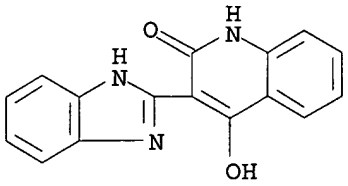


I



II

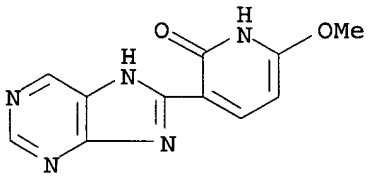
AB Reaction of benzoxazinone I with o-phenylenediamine in a melt gave benzimidazolylquinolinone II in 31.8% yield.
AN 1992:612396 CAPLUS
DN 117:212396
TI 2-(Carbethoxymethyl)-4H-3,1-benzoxazin-4-one. 3. Condensation with o-phenylenediamine
AU Ukrainets, I. V.; Bezuglyi, P. A.; Treskach, V. I.; Turov, A. V.
CS Khar'k. Farm. Inst., Kharkov, 310002, Ukraine
SO Khim. Geterotsikl. Soedin. (1992), (2), 239-41
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
OS CASREACT 117:212396
IT **144335-37-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 144335-37-5 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-hydroxy- (9CI) (CA INDEX NAME)



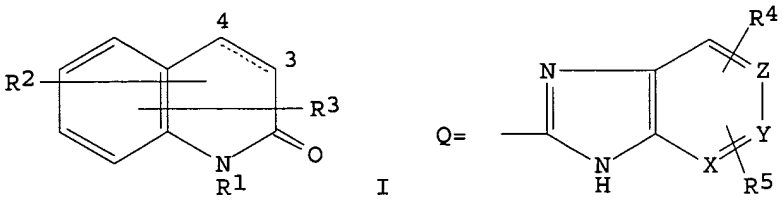
L4 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; AB = atoms to complete a pyridine or pyrimidine ring; R = (un)substituted C-attached heterocyclyl] were prepd. 3,4-Diaminopyridine was refluxed .apprx.3.5 h with 2,6-dimethoxynicotinic acid in POCl3 to give 10% pyridylimidazopyridine II which gave a 68% increase in coronary contractility with a 25 mmHg lowering of blood pressure in cats receiving 1 mg/kg i.v.. Tablets were prepd. each contg. II 100.0, lactose 50.0, polyvinylpyrrolidone 5.0, CM-cellulose 19.0, and Mg stearate 1.0 mg.
AN 1989:231657 CAPLUS
DN 110:231657
TI Preparation of heterocyclyl imidazopyridines and -purines as cardiovascular agents
IN Hauel, Norbert; Heider, Joachim; Diederen, Willi; Van Meel, Jacques
PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 15 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3722992 | A1 | 19890119 | DE 1987-3722992 | 19870711 |

OS CASREACT 110:231657; MARPAT 110:231657
IT **120800-28-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)
RN 120800-28-4 CAPLUS
CN 2(1H)-Pyridinone, 6-methoxy-3-(1H-purin-8-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



AB The title compds. [I, R1 = H, lower alkyl, lower alkenyl, phenyl-lower alkyl; R2 = Q (wherein X, Y, Z = CH or N, R4, R5 = H, lower alkoxy, halo, or NH2); R3 = H, halo, NO2, NH2, lower alkanoylamino, lower alkoxy, OH, lower alkyl, lower alkylthio, satd. 5- or 6-membered (lower alkyl) hterocyclyl, 5- or 6-membered heterocyclyl-lower alkyl; the linkage between 3- and 4-position is a single or double bond] were prepd. as cardiotonics, etc. 7-Methoxy-6-carboxy-3,4-dihydrocarbostyril 0.3 and 3,4-diaminopyridine 0.16 g were added to a 1:10 mixt. of P2O5 and Me2SO3H. The mixt. was heated 2 h at 100.degree., poured into ice-water, and made weakly alk. with 10% aq. NaOH and satd. NaHCO3. The pptd. crystals were removal by filtration, washed with H2O, dried and purified on a silica gel chromatog. to give, after acidification with HCl in EtOH, 0.29 g 7-methoxy-6-[1H-imidazo[4,5-c]pyridin-2-yl]-3,4-dihydrocarbostyril (II)-HCl.H2O. II.HCl.H2O at 300 n mol increased myocardial contractility 23.1% and coronary blood flow 0.4 mL/min in dog heart in vitro. 1 ML ampules were formulated from II 500, polyethyleneglycol 0.3, NaCl 0.9, polyoxyethylenesorbitan monooleate 0.4, sodium metabisulfite 0.1, methylparaben 0.18, propylparaben 0.02 g, and water 100 mL.

AN 1989:154319 CAPLUS

DN 110:154319

TI Preparation of 6-heterocyclylcarbostyril derivatives for treatment of heart diseases

IN Tamada, Shigeharu; Fujioka, Takafumi; Ogawa, Hidenori; Teramoto, Shuji; Kondo, Kazumi

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 63230687 | A2 | 19880927 | JP 1987-65202 | 19870318 |
| | JP 07121937 | B4 | 19951225 | | |

OS MARPAT 110:154319

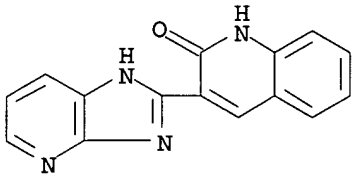
IT **119714-56-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiotonic)

RN 119714-56-6 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-imidazo[4,5-b]pyridin-2-yl)-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

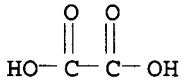
CM 1

CRN 119714-55-5
CMF C15 H10 N4 O

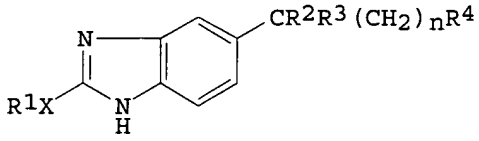


CM 2

CRN 144-62-7
CMF C2 H2 O4



L4 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



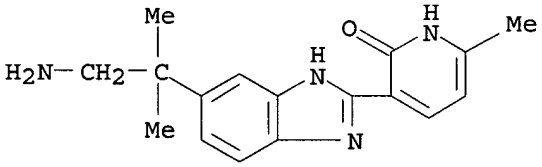
I

AB The title compds. [I; R1 = (substituted) Ph, 5- or 6-membered (substituted) heterocyclyl; R2,R3 = H, alkyl; R2R3C = carbocyclic ring; R4 = cyano, (substituted) carbamoyl, hydrazinocarbonyl; X = bond, alkylene, vinylene, NH; n = 0-5] were prepd. as cardiovascular agents (no data). 4-(2-Cyanoprop-2-yl)aniline was successively acetylated, reduced with H2/Raney Ni/NH3, acetylated, nitrated, and partially hydrolyzed with KOH in MeOHto give 4-[2-(acetamidomethyl)prop-2-yl]-2-nitroaniline, which was hydrogenated over Pd/C and cyclocondensed with isonicotinoyl chloride.HCl in CH2Cl2 contg. Et3N to give 5-[2-(aminomethyl)prop-2-yl]-2-(4-pyridyl)benzimidazole.
AN 1988:437822 CAPLUS
DN 109:37822
TI Preparation of (hetero)arylalkylbenzimidazoles as cardiovascular agents
IN Von der Saal, Wolfgang; Hoelck, Jens-Peter; Mertens, Alfred; Mueller-Beckmann, Bernd; Kling, Lothar
PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 17 pp.
CODEN: GWXXBX
DT Patent

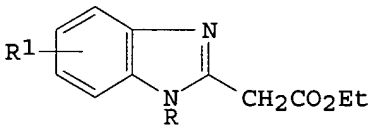
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 3634066 | A1 | 19880421 | DE 1986-3634066 | 19861007 |
| | EP 266558 | A2 | 19880511 | EP 1987-114316 | 19871001 |
| | EP 266558 | A3 | 19890809 | | |
| | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | FI 8704388 | A | 19880408 | FI 1987-4388 | 19871006 |
| | JP 63096174 | A2 | 19880427 | JP 1987-250837 | 19871006 |
| | HU 45510 | A2 | 19880728 | HU 1987-4488 | 19871006 |
| | DD 270304 | A5 | 19890726 | DD 1987-307710 | 19871006 |
| | US 4882342 | A | 19891121 | US 1987-106413 | 19871006 |
| PRAI | DE 1986-3634066 | | 19861007 | | |

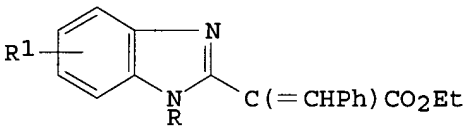
OS CASREACT 109:37822; MARPAT 109:37822
IT **115279-35-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)
RN 115279-35-1 CAPLUS
CN 2(1H)-Pyridinone, 3-[5-(2-amino-1,1-dimethylethyl)-1H-benzimidazol-2-yl]-6-methyl- (9CI) (CA INDEX NAME)



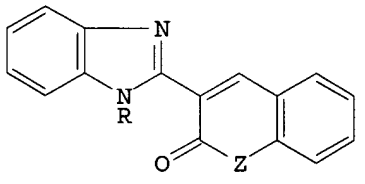
L4 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



I



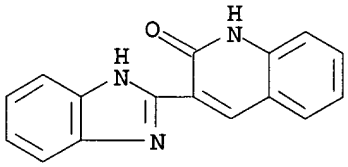
II



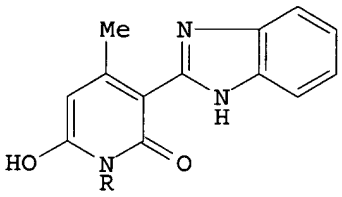
III

AB I (R = H, Me; R1 = H, Cl, Me) reacted with PhCHO to give II; III (Z = O, NH) were obtained from I (R1 = H) and 2-HOC6H4CHO or 2-H2NC6H4CHO resp. I (R = R1 = H), PhCHO, and piperidine in EtOH was refluxed to give II (R = R1 = H).

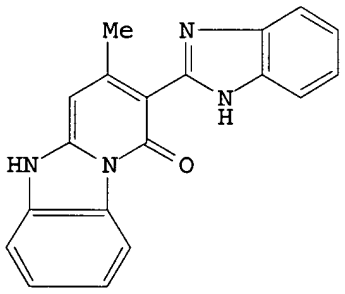
AN 1982:582291 CAPLUS
DN 97:182291
TI Condensation of ethyl 2-benzimidazoleacetate with carbonyl compounds
AU Matei, Simion; Russu, Jana; Coltea, Petronela; Grecu, Rodica
CS Inst. Cercet. Chim. Farm., Cluj-Napoca, Rom.
SO Rev. Chim. (Bucharest) (1982), 33(6), 527-30
CODEN: RCBUAU
DT Journal
LA Romanian
OS CASREACT 97:182291
IT **83520-73-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83520-73-4 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



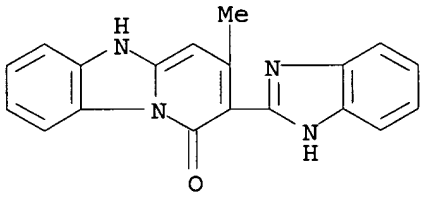
II



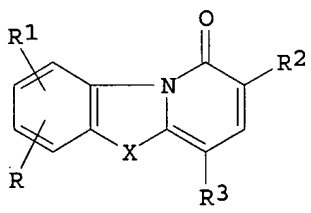
III

AB 1-Substituted-1,2-dihydro-6-hydroxy-4-methyl-2-oxo-3-pyridinecarbonitriles on treatment with 1,2-diaminobenzene (I) [95-54-5] in polyphosphoric acid gave 1-substituted-1,2-dihydro-3-(2-benzimidazolyl)-6-hydroxy-4-methylpyridin-2-ones (II; R = H, Me). II on treatment with I in H3PO4 (85%) gave III [**81608-01-7**]. II and III on azo coupling with diazotized anilines gave the corresponding dyes. The structures of these compds. were established by spectral studies. The dyeing properties of the dyes of polyamide and polyester fibers are briefly discussed.
AN 1982:182731 CAPLUS
DN 96:182731
TI Synthesis of benzimidazole-substituted pyridone azo disperse dyes
AU Pednekar, S. R.; Samant, S. D.; Deval, S. D.; Deodhar, K. D.
CS Org. Res. Lab., Ramnarain Ruia Coll., Bombay, 400 019, India
SO Indian J. Chem., Sect. B (1981), 20B(12), 1047-9

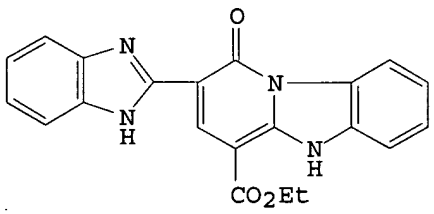
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
IT **81608-01-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and coupling of, with diazotized anilines)
RN 81608-01-7 CAPLUS
CN Pyrido[1,2-a]benzimidazol-1(5H)-one, 2-(1H-benzimidazol-2-yl)-3-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI



I



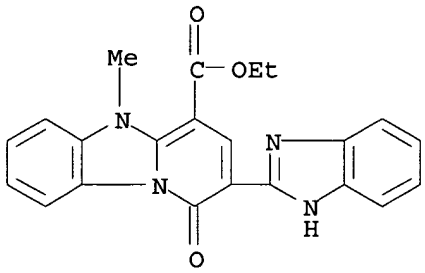
II

AB Fluorescent whiteners (I; R, R1 = H, Cl, F, Ph, C1-4 alkyl, CF3, CO2H or SO3H derivs; R2, R3 = H, CN, CO2R4, optionally substituted 2-imidazolyl, 2-benzothiazolyl, 2-benzoxazolyl; R4 = H, optionally substituted C1-4 alkyl; X = O, S, NR5; R5 = H, C1-4 alkyl, PhCH2) are prepd. for use on textiles. Thus, a mixt. of Et benzimidazol-2-ylacetate [14741-71-0] and HC(OEt)3 contg. ZnCl2 was heated to give 87.7% II [**75762-42-4**], .lambda.max 408 nm.
AN 1981:158320 CAPLUS
DN 94:158320
TI Fluorescent whiteners and dyes
IN Warning, Klaus; Guenther, Dieter; Erckel, Ruediger
PA Hoechst A.-G., Fed. Rep. Ger.
SO Ger. Offen., 29 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 2908364 | A1 | 19800911 | DE 1979-2908364 | 19790303 |

IT **75762-31-1P**

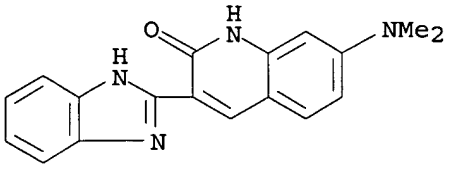
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and absorption max. of)
RN 75762-31-1 CAPLUS
CN Pyrido[1,2-a]benzimidazole-4-carboxylic acid, 2-(1H-benzimidazol-2-yl)-1,5-dihydro-5-methyl-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)




L4 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI For diagram(s), see printed CA Issue.
AB Sixteen aminoquinolines (I) were prepd., where R = Me or Et, R1 = CN, carbamoyl, carbalkoxy, N-heterocyclic, or quaternized N-heterocyclic group, and R2 = OH or NH2. I with R1 = CN, carbamoyl, or carbalkoxy were used as fluorescent whiteners for synthetic fibers, and I with R1 = N-heterocyclic or quaternized N-heterocyclic group were brilliant yellow dyes for acrylic or synthetic fibers or yellow color formers for copying paper. Thus, reaction of 2,4-H2N(Me2N)C6H3CH:NC6H4Me-4 [56670-21-4] with CH2(CN)2 [109-77-3] in EtOH contg. HOAc and piperidine gave 2-amino-3-cyano-7-(dimethylamino)quinoline [56670-03-2], a colorless dil. soln. of which showed an intense blue fluorescence in daylight and brightened synthetic fibers. .alpha.-(2-Benzothiazolyl)-.beta.-[2-nitro-4-(dimethylamino)phenyl]acrylamide [56670-00-9] [from 2,4-O2N(Me2N)C6H3CHO [56670-20-3] and 2-benzothiazoleacetamide [51542-41-7]] was reductively cyclized with Zn-HCl to give I(R = Me, R1 = 2-benzothiazolyl, R2 = OH) [56670-22-5], brilliant yellow on synthetic fibers.
AN 1976:32592 CAPLUS
DN 84:32592
TI Fluorescing quinoline compounds
IN Grychtol, Klaus
PA BASF A.-G., Ger.
SO Ger. Offen., 23 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 2363459 | A1 | 19750626 | DE 1973-2363459 | 19731220 |

IT **56670-11-2**
RL: USES (Uses)
(dye, for acrylic fibers, prepn. of)
RN 56670-11-2 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-7-(dimethylamino)- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2002 ACS

AB The azomethine dyes I (R = H or Br, R1 = H or Me) and the metal complexes II (M = Ni or Co) were prepd. II were used to dye PVC [9002-86-2] and lacquers light- and weatherfast orange to yellow shades. Thus, reaction of 2,4-dihydroxyquinoline with 2-(methylthio)benz[cd]indole-HI in pyridine 2 hr at 100-5.deg. gave orange-yellow dye (I, R = R1 = H)(III). Heating III with Co stearate in DMF hr at 150.deg. gave yellow dye (II, R = R1 = H, M = Co), light- and weatherfast on PVC. Similarly prepd. were 1 other I and 1 other II.

AN 1974:404705 CAPLUS

DN 81:4705

TI Azomethine metal complex pigments

IN Frey, Christoph

PA Ciba-Geigy A.-G.

SO Ger. Offen., 14 pp.
CODEN: GWXXBX

DT Patent

LA German

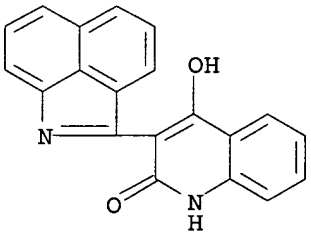
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2339812 | A1 | 19740221 | DE 1973-2339812 | 19730806 |
| | CH 567557 | A | 19751015 | CH 1972-11763 | 19720809 |
| | CA 981670 | A1 | 19760113 | CA 1973-177955 | 19730801 |
| | US 3892750 | A | 19750701 | US 1973-385618 | 19730803 |
| | JP 49059833 | A2 | 19740611 | JP 1973-88271 | 19730806 |
| | FR 2195664 | A1 | 19740308 | FR 1973-28992 | 19730808 |
| | GB 1375519 | A | 19741127 | GB 1973-37533 | 19730808 |
| | IT 995166 | A | 19751110 | IT 1973-27681 | 19730808 |
| | ES 417666 | A1 | 19760601 | ES 1973-417666 | 19730808 |
| PRAI | CH 1972-11763 | | 19720809 | | |
| | CH 1973-9024 | | 19730621 | | |

IT **51970-45-7P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

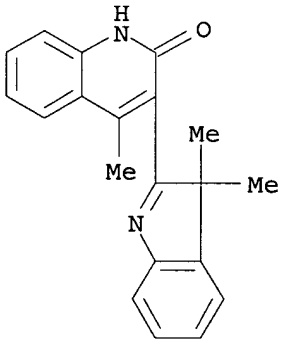
RN 51970-45-7 CAPLUS

CN 2(1H)-Quinolinone, 3-benz[cd]indol-2-yl-4-hydroxy- (9CI) (CA INDEX NAME)



Print selected from 9943382.trn13/12/2002

L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2002 ACS
GI For diagram(s), see printed CA Issue.
AB The keto pyrrolidone (I) in the presence of acid produces the enamine (II) and the rearranged quinoline (III). Evidence is presented for the mechanism of this new rearrangement, the key step being a remarkably facile opening and reclosure of the indoline ring, which even occurs in HOAc.
AN 1972:552027 CAPLUS
DN 77:152027
TI New indoline rearrangement
AU Dave, Vinod; Stothers, J. B.; Warnhoff, E. W.
CS Dep. Chem., Univ. West. Ontario, London, Ont., Can.
SO Can. J. Chem. (1972), 50(15), 2475-83
CODEN: CJCHAG
DT Journal
LA English
IT **37740-43-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 37740-43-5 CAPLUS
CN 2(1H)-Quinolinone, 3-(3,3-dimethyl-3H-indol-2-yl)-4-methyl- (9CI) (CA INDEX NAME)

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America

Print selected from 9943382.trn16:52Page 21

Print selected from 9943382.trn13/12/2002

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 NEWS  2  Apr 08  "Ask CAS" for self-help around the clock
 NEWS  3  Apr 09  BEILSTEIN: Reload and Implementation of a New Subject Area
 NEWS  4  Apr 09  ZDB will be removed from STN
 NEWS  5  Apr 19  US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
 NEWS  6  Apr 22  Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
 NEWS  7  Apr 22  BIOSIS Gene Names now available in TOXCENTER
 NEWS  8  Apr 22  Federal Research in Progress (FEDRIP) now available
 NEWS  9  Jun 03  New e-mail delivery for search results now available
 NEWS 10  Jun 10  MEDLINE Reload
 NEWS 11  Jun 10  PCTFULL has been reloaded
 NEWS 12  Jul 02  FOREGE no longer contains STANDARDS file segment
 NEWS 13  Jul 22  USAN to be reloaded July 28, 2002;
                  saved answer sets no longer valid
 NEWS 14  Jul 29  Enhanced polymer searching in REGISTRY
 NEWS 15  Jul 30  NETFIRST to be removed from STN
 NEWS 16  Aug 08  CANCERLIT reload
 NEWS 17  Aug 08  PHARMAMarketLetter(PHARMAML) - new on STN
 NEWS 18  Aug 08  NTIS has been reloaded and enhanced
 NEWS 19  Aug 19  Aquatic Toxicity Information Retrieval (AQUIRE)
                  now available on STN
 NEWS 20  Aug 19  IFIPAT, IFICDB, and IFIUDB have been reloaded
 NEWS 21  Aug 19  The MEDLINE file segment of TOXCENTER has been reloaded
 NEWS 22  Aug 26  Sequence searching in REGISTRY enhanced
 NEWS 23  Sep 03  JAPIO has been reloaded and enhanced
 NEWS 24  Sep 16  Experimental properties added to the REGISTRY file
 NEWS 25  Sep 16  Indexing added to some pre-1967 records in CA/CAPLUS
 NEWS 26  Sep 16  CA Section Thesaurus available in CAPLUS and CA
 NEWS 27  Oct 01  CASREACT Enriched with Reactions from 1907 to 1985
 NEWS 28  Oct 21  EVENTLINE has been reloaded
 NEWS 29  Oct 24  BEILSTEIN adds new search fields
 NEWS 30  Oct 24  Nutraceuticals International (NUTRACEUT) now available on STN
 NEWS 31  Oct 25  MEDLINE SDI run of October 8, 2002
 NEWS 32  Nov 18  DKILIT has been renamed APOLLIT
 NEWS 33  Nov 25  More calculated properties added to REGISTRY
 NEWS 34  Dec 02  TIBKAT will be removed from STN
 NEWS 35  Dec 04  CSA files on STN

 NEWS EXPRESS  October 14 CURRENT WINDOWS VERSION IS V6.01,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
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DICTIONARY FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8

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Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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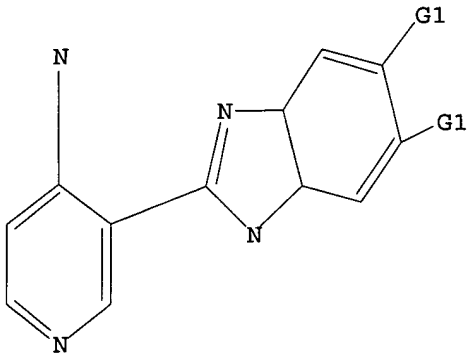



G1 C,H,Hy

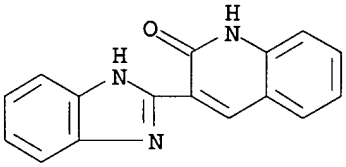
Print selected from Online session13/12/2002

=> s l3
L4 7 L3

=> d abs bib fhitstr 1-7

L4 ANSWER 1 OF 7 USPATFULL
AB The present invention relates to compounds which inhibit, regulate and/or modulate tyrosine kinase signal transduction, compositions which contain these compounds, and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals.

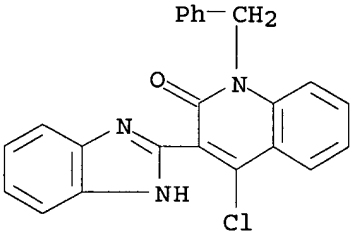
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:297601 USPATFULL
TI Tyrosine kinase inhibitors
IN Fraley, Mark E., North Wales, PA, United States
Hambaugh, Scott R., Norristown, PA, United States
Hungate, Randall W., Lansdale, PA, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US 6479512 B1 20021112
AI US 2000-690602 20001017 (9)
PRAI US 1999-160362P 19991019 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Seaman, D. Margaret
LREP Brown, Dianne, Daniel, Mark R.
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2602
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **83520-73-4P**
(prepn. of benzimidazole derivs. as tyrosine kinase inhibitors)
RN 83520-73-4 USPATFULL
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)




L4 ANSWER 2 OF 7 USPATFULL
AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

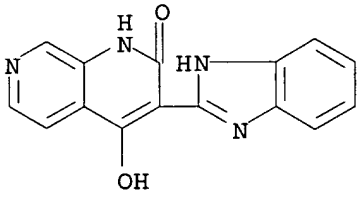
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:199281 USPATFULL
TI Quinolinone derivatives
IN Renhowe, Paul A., Danville, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Taylor, Clarke, Ann Arbor, MI, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES
PI US 2002107392 A1 20020808
AI US 2001-951265 A1 20010911 (9)
PRAI US 2000-232159P 20000911 (60)
DT Utility
FS APPLICATION
LREP David Lentini, CHIRON CORPORATION, 4560 Horton Street, Emeryville, CA, 94608-2916
CLMN Number of Claims: 37
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 6588
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405168-37-8P**, 3-(Benzimidazol-2-yl)-4-chloro-1-benzyl-1H-quinolin-2-one
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)
RN 405168-37-8 USPATFULL
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-chloro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)




L4 ANSWER 3 OF 7 USPATFULL
AB Organic compounds having the structural formulas I, II, and III are provided where the variables have the values described herein and R.sup.1 and R.sup.2 in structure I join together to form a 5 to 7 membered substituted or unsubstituted ring including at least one O, N, or S atom, and Z is an O, S, NH or NR group in structures I and II. ##STR1##

Pharmaceutical formulations include the organic compound or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

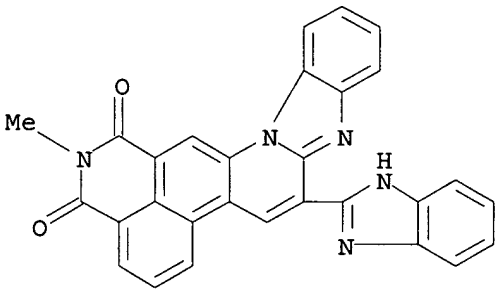
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:192158 USPATFULL
TI Heterocyclic compounds
IN Renhowe, Paul A., Danville, CA, UNITED STATES
Machajewski, Timothy, Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Wernette-Hammond, Mary Ellen, Castro Valley, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
PI US 2002103230 A1 20020801
AI US 2001-943382 A1 20010830 (9)
PRAI US 2000-231829P 20000901 (60)
DT Utility
FS APPLICATION
LREP David Lentini, Chiron Corporation, 4560 Horton Street, Emeryville, CA, 94608-2916
CLMN Number of Claims: 21
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3515
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **402948-06-5P**
(prepn. of heterocyclic compds. as receptor tyrosine kinase inhibitors)
RN 402948-06-5 USPATFULL
CN 1,7-Naphthyridin-2(1H)-one, 3-(1H-benzimidazol-2-yl)-4-hydroxy- (9CI) (CA INDEX NAME)




L4 ANSWER 4 OF 7 USPATFULL
AB An organic electroluminescent device comprising an anode, an organic hole transport layer, an organic emission layer and a cathode layered in this order on a substrate, or, optionally, comprising an additional electron transport layer between the organic emission layer and the cathode, wherein the organic emission layer comprises a naphthalimide derivative represented by formula (1) wherein R.sup.1 is a hydrogen atom, a straight chain or branched chain alkyl group having from 1 to 16 carbon atoms, an aryl group which may have substituents or an aralkyl group which may have substituents, and R.sup.2, R.sup.3, R.sup.4 are special substituents. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 1999:75436 USPATFULL
TI Organic electroluminescent device
IN Kang, Wen-Bing, Tokorozawa, Japan
Yu, Nu, Oberursel, Germany, Federal Republic of
Tokida, Akihiko, Kawagoe, Japan
Potrawa, Thomas, Seelze, Germany, Federal Republic of
Winterfeldt, Andreas, Barsinghausen, Germany, Federal Republic of
PA Hoechst Aktiengesellschaft, Frankfurt, Germany, Federal Republic of (non-U.S. corporation)

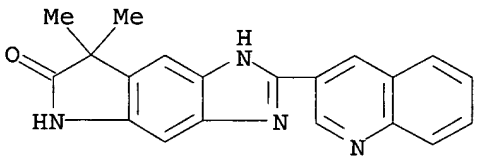
PI US 5919579 19990706
WO 9605267 19960222
AI US 1997-776078 19970117 (8)
WO 1995-EP3128 19950807
19970117 PCT 371 date
19970117 PCT 102(e) date
PRAI JP 1994-185820 19940808
DT Utility
FS Granted
EXNAM Primary Examiner: Nold, Charles
LREP Frommer Lawrence & Haug LLP
CLMN Number of Claims: 4
ECL Exemplary Claim: 1
DRWN 3 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 251
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **93904-40-6**
(org. electroluminescent device using naphthalimide derivs.)
RN 93904-40-6 USPATFULL
CN 1H-Benzimidazo[1,2-a]isoquino[5,4-fg]quinoline-1,3(2H)-dione, 8-(1H-benzimidazol-2-yl)-2-methyl- (9CI) (CA INDEX NAME)




L4 ANSWER 5 OF 7 USPATFULL
AB Pyrrolobenzimidazoles of the formula: ##STR1## are useful for treatment of heart and circulatory diseases. R.sub.1 is substituted phenyl; or optionally substituted naphthyl or a five- or six-membered heterocyclic group which can be condensed with a phenyl ring to form a bicyclic radical. R.sub.2 is hydrogen, alkyl, alkenyl or cycloalkenyl; R.sub.3 is alkyl, alkenyl or hydroxyalkyl or with R.sub.2 together forms cycloakylene; or R.sub.2 and R.sub.3 together form alkylidene or cycloalkylidene. R.sub.4 is hydrogen or lower alkanoyl. X is a valency bond, alkylene, vinylene, imino or carbonylamino. T stands for two hydrogen atoms. When X is a valency bond, R.sub.1 can also be hydrogen, hydrocarbyl which may also contain oxygen, amino, sulfur, carbonyl and sulfonyl groups. When X is imino or carbonylamino or when R.sub.1 is a bicyclic radical, T can also be oxygen. The compounds also include the tautomers and physiologically acceptable salts with inorganic and organic acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 89:74204 USPATFULL
TI Pyrrolobenzimidazoles and pharmaceutical compositions containing them
IN Friebe, Walter-Gunar, Mannheim, Germany, Federal Republic of
Mertens, Alfred, Schriesheim, Germany, Federal Republic of
Strein, Klaus, Hemsbach, Germany, Federal Republic of

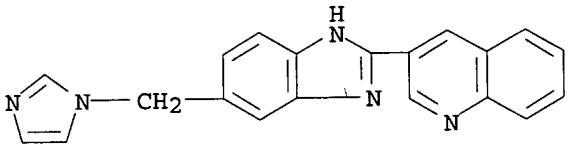
Boehm, Erwin, Ladenburg, Germany, Federal Republic of
PA Boehringer Mannheim GmbH, Mannheim, Germany, Federal Republic of (non-U.S. corporation)
PI US 4863945 19890905
AI US 1987-131367 19871210 (7)
PRAI DE 1986-3642315 19861211
DT Utility
FS Granted
EXNAM Primary Examiner: Lee, Mary C.; Assistant Examiner: Richter, J.
LREP Felfe & Lynch
CLMN Number of Claims: 20
ECL Exemplary Claim: 1,15
DRWN No Drawings
LN.CNT 1410
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **116584-57-7P**
(prepn. of, as cardiovascular agent)
RN 116584-57-7 USPATFULL
CN Pyrrolo[2,3-f]benzimidazol-6(1H)-one, 5,7-dihydro-7,7-dimethyl-2-(3-quinolinyl)- (9CI) (CA INDEX NAME)




L4 ANSWER 6 OF 7 USPATFULL
AB Novel (1H-imidazol-1-ylmethyl) substituted benzimidazole derivatives, compositions containing the same, and methods of treating androgen dependent disorders in mammals.

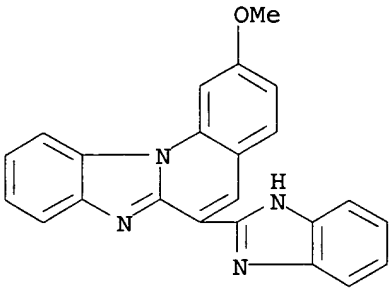
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 89:69782 USPATFULL
TI (1H-imidazol-1-ylmethyl) substituted benzimidazole derivatives and use thereof in treating androgen dependent disorders
IN Raeymaekers, Alfons H. M., Beerse, Belgium
Freyne, Eddy J. E., Rumst, Belgium
Sanz, Gerard C., Garges les Gonesse, France
PA Janssen Pharmaceutica N.V., Beerse, Belgium (non-U.S. corporation)
PI US 4859684 19890822
AI US 1987-78435 19870727 (7)
RLI Continuation-in-part of Ser. No. US 1986-907903, filed on 15 Sep 1986, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Lee, Mary C.; Assistant Examiner: Whittenbaugh, Robert C.
CLMN Number of Claims: 20
ECL Exemplary Claim: 1,13
DRWN No Drawings
LN.CNT 2906
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **115574-62-4P**
(prepn. of, as androgen inhibitor)

RN 115574-62-4 USPATFULL
CN Quinoline, 3-[5-(1H-imidazol-1-ylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 7 USPATFULL
AB Benzimidazo-[1,2-a]-quinolines of the formula ##STR1## In the solid and dissolved state, the compounds of the invention are strongly fluorescing from reddish-blue to red, depending on the substitution. The compounds can be used as optical brighteners and as fluorescent dyestuffs. Furthermore they are valuable intermediates for the preparation of optical brighteners and fluorescing dyestuffs. They are distinguished by a very good fastness to light.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 80:41896 USPATFULL
TI Benzimidazo-[1,2-a]-quinolines
IN Gunther, Dieter, Kelkheim, Germany, Federal Republic of
Erckel, Rudiger, Hofheim am Taunus, Germany, Federal Republic of
Fruhbeis, Horst, Kelkheim, Germany, Federal Republic of
PA Hoechst Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation)
PI US 4219651 19800826
AI US 1977-830175 19770902 (5)
PRAI DE 1976-2640760 19760910
DT Utility
FS Granted
EXNAM Primary Examiner: Rizzo, Nicholas S.; Assistant Examiner: Rivers, Diana G.
LREP Connolly and Hutz
CLMN Number of Claims: 1
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 254
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **66557-50-4P**
(prepn. and UV absorption of)
RN 66557-50-4 USPATFULL
CN Benzimidazo[1,2-a]quinoline, 6-(1H-benzimidazol-2-yl)-2-methoxy- (9CI) (CA INDEX NAME)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